L5 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:1154779 CAPLUS Full-text
DN 142:62766
TI Product of coprecipitation of sparingly soluble substance and water-soluble polymer and process for producing the same
IN Ishikura, Toyoaki; Udagawa, Chikako; Misaka, Masato; Suemune, Kenji; Kitahara, Shinichi; Ono, Kiyoko; Koyanagi, Akihiro
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113451	A1	20041229	WO 2004-JP8727	20040621
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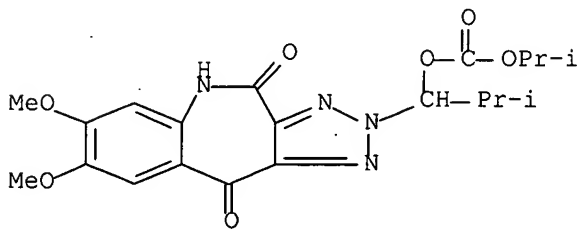
	EP 1650266	A1	20060426	EP 2004-746196	20040621
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRAI	JP 2003-175646	A	20030620		
	WO 2004-JP8727	W	20040621		

AB Disclosed is a product of the copptn. of 2-(1-isopropoxy-carbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5H),10-dioxo-2H-1,2,3-triazolo[4,5-c][1]benzoazepine (I) and a water-soluble polymer. The copptn. product is excellent in solubility and absorbability. Crystalline I and Me cellulose were dissolved in DMSO. The mixture was dropped into an aqueous solution containing Me cellulose to give ppts., which showed a solubility 16.8 μg/mL, as compared to 0.8 μg/mL for crystalline I.

IT 222633-22-9
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)(copptn. of sparingly soluble tricyclic triazolobenzazepine derivative and water-soluble polymer for improving solubility)
RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2004:1154716 CAPLUS Full-text
DN 142:100324
TI Tricyclic triazolobenzazepine derivative produced as novel crystalline substance
IN Kitahara, Shinichi; Yamaguchi, Toshihiro
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004113343	A1	20041229	WO 2004-JP8729	20040621
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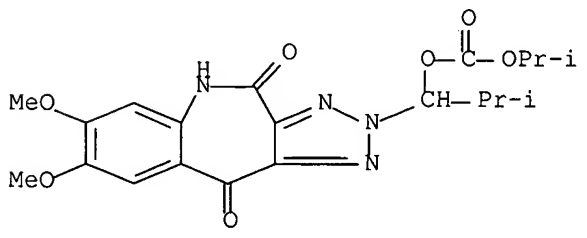
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1642900	A1	20060405	EP 2004-746198	20040621
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
PRAI	JP 2003-175347	A	20030619		
	WO 2004-JP8729	W	20040621		

AB Crystalline 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5-H),10- dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I) (X ray crystallog. data given) is claimed. The crystals of I of this invention have high solubility and bioavailability. Crystallization of I from DMF and water gave β type crystals of I. I is an antiallergic agent.

IT 222633-22-9
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(tricyclic triazolobenzazepine derivative produced as novel crystalline substance)

RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:532667 CAPLUS Full-text
DN 139:90493
TI Amorphous substance of tricyclic triazolobenzazepine derivative
IN Ishikura, Toyoaki; Ishizawa, Takayuki; Suemune, Kenji; Ishiwata, Mayumi; Udagawa, Chikako
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003055886	A1	20030710	WO 2002-JP13558	20021225
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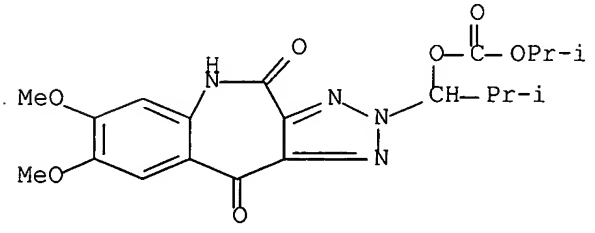
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2471651	A1	20030710	CA 2002-2471651	20021225
	AU 2002367110	A1	20030715	AU 2002-367110	20021225
	EP 1466914	A1	20041013	EP 2002-790871	20021225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CN 1617872	A	20050518	CN 2002-827547	20021225
	US 2005130955	A1	20050616	US 2003-500071	20021225
PRAI	JP 2001-393016	A	20011226		
	WO 2002-JP13558	W	20021225		

AB Disclosed are amorphous 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5H),10-dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I), which is improved in absorbability and solubility; and a medicinal composition containing the compound Also provided are processes for producing amorphous compound I and for producing a medicinal composition containing the compound An amorphous compound I was dissolved in methylene chloride, and mixed with Me cellulose (Metolose SM15) and methanol. The mixture was then spray dried to obtain an amorphous powder of the present invention.

IT 222633-22-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amorphous substance of tricyclic triazolobenzazepine derivative having improved absorbability and solubility)

RN 222633-22-9 CAPLUS
CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:532666 CAPLUS Full-text
DN 139:95490
TI Crystalline tricyclic triazolobenzazepine derivative
IN Kitahara, Shin-Ichi; Furukawa, Hanae; Yamaguchi, Toshihiro; Miyamoto, Sachiko; Okada, Yumiko
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003055885	A1	20030710	WO 2002-JP13557	20021225
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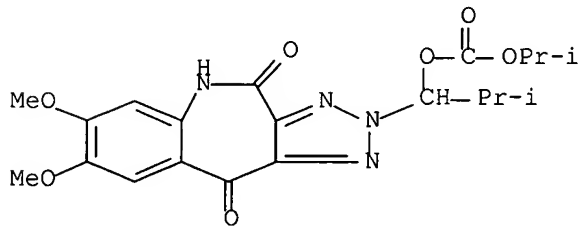
	AU 2002367109	A1	20030715	AU 2002-367109	20021225
	EP 1469000	A1	20041020	EP 2002-790870	20021225
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	CN 1617872	A	20050518	CN 2002-827547	20021225
	US 2005020579	A1	20050127	US 2004-500157	20040625
	US 7002009	B2	20060221		
PRAI	JP 2001-393016	A	20011226		
	WO 2002-JP13557	W	20021225		

AB Crystalline 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-7,8-dimethoxy-4(5-H),10- dioxo-2H-1,2,3-triazolo[4,5-c][1]benzazepine (I) (X ray crystallog. data given) is claimed. I is an antiallergic agent.

IT 222633-22-9P
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline tricyclic triazolobenzazepine derivative as antiallergic agent)

RN 222633-22-9 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:233920 CAPLUS Full-text
DN 130:282073
TI Preparation of tricyclic triazolobenzazepine derivatives as prodrugs for antiallergic agents
IN Ohtsuka, Yasuo; Nishizuka, Toshio; Shiokawa, Sohjiro; Tsutsumi, Seiji; Kawaguchi, Mami; Kitagawa, Hideo; Takata, Hiromi; Shishikura, Takashi; Ishikura, Toyoaki; Fushihara, Kenichi; Okada, Yumiko; Miyamoto, Sachiko; Shiobara, Maki
PA Meiji Seika Kaisha, Ltd., Japan
SO PCT Int. Appl., 143 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9916770	A1	19990408	WO 1998-JP4363	19980929
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	AU 744636	B2	20020228		
	EP 1026167	A1	20000809	EP 1998-944289	19980929
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	JP 3188482	B2	20010716	JP 1999-519969	19980929
	HU 200004020	A2	20010928	HU 2000-4020	19980929
	TW 510902	B	20021121	TW 1998-87116198	19980929
	RU 2198885	C2	20030220	RU 2000-111517	19980929
	AT 233764	T	20030315	AT 1998-944289	19980929
	PT 1026167	T	20030731	PT 1998-944289	19980929
	ES 2191963	T3	20030916	ES 1998-944289	19980929
	SK 283869	B6	20040302	SK 2000-425	19980929
	CN 1523019	A	20040825	CN 2003-10104753	19980929
	CN 1781913	A	20060607	CN 2005-10129622	19980929
	NO 2000001500	A	20000518	NO 2000-1500	20000323
	NO 319542	B1	20050829		
	MX 200003047	A	20001110	MX 2000-3047	20000328
	US 6372735	B1	20020416	US 2000-509494	20000329
	HK 1032782	A1	20041119	HK 2001-103502	20010522
	US 2002137739	A1	20020926	US 2002-73326	20020213
	US 7022860	B2	20060404		
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	US 2006074074	A1	20060406	US 2005-269828	20051109
PRAI	JP 1997-264611	A	19970929		
	JP 1998-52063	A	19980304		
	CN 2003-10104753	A3	19980929		
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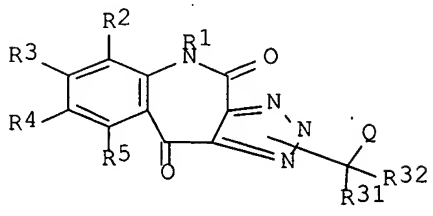
US 2002-73326 A3 20020213

OS MARPAT 130:282073

GI



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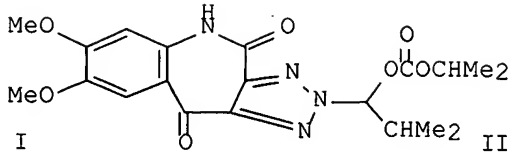


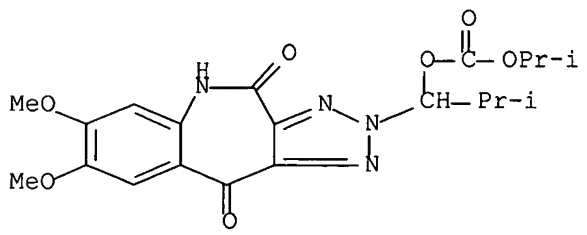
II

AB Tricyclic triazolobenzazepine derivs. represented by general formula [I; R1 represents hydrogen, OH, alkyl, or phenylalkyl; R2, R3, R4, and R5 each represents hydrogen, halogeno, optionally protected hydroxyl, formyl, optionally substituted alkyl, alkenyl, alkoxy, etc.; Q represents a group selected among groups of OCO2R33, O2CR34, O2CNR35R36, OP(:O)(OR37)OR38, halogeno, or alkoxy; R33 and R34 each represent (un)substituted alkyl, Ph, or (un)saturated 5- to 7-membered ring heterocyclyl, etc.; and R35 and R36 each represent hydrogen or (un)substituted alkyl or NR35R36 forms a (un)saturated 5- to 7-membered ring heterocyclyl] in the form of a prodrug. and pharmacol. acceptable salts and solvates thereof are prepared These compds. have excellent bioavailability. Thus, 1.07 g Et 5-(4,5-dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate (preparation given) and 53 mg p-MeC6H4SO3H.H2O were suspended in CH2Cl2 and stirred with 330 mg isobutyraldehyde at room temperature for 25 min, followed by adding 744 mg 1,1'-carbonyldiimidazole in 5.0 mL CH2Cl2, and the resulting mixture was stirred at room temperature for 3 h and then refluxed with 920 mg iso-Pr alc. to give 34% Et 2-(1-isopropoxycarbonyloxy-2-methylpropyl)-5-(4,5- dimethoxy-2-nitrobenzoyl)-1H-1,2,3-triazole-4-carboxylate. The latter compound was hydrogenated over Pd(OH)2 in EtOAc at room temperature for 15 h to give 99% Et 5-(2-amino-4,5-dimethoxybenzoyl)-2-(1-isopropoxycarbonyloxy-2- methylpropyl)-1H-1,2,3-triazole-4-carboxylate which was heated in AcOH at 100° for 2 h with stirring to give the title compound (II) in 62% yield. When II in 0.5% aqueous methylcellulose was administered p.o. to dogs or rats, the area under the concentration time curve (AUC) value was 1.2±0.3 μmol. h/L for dogs and 1.4±0.1 μmol. h/L for rats, which was 4-times higher in dog and 7-times higher in rats compared to that of its active form. A tablet and a fine powder formulation containing II were described.

IT 222633-22-9P 222633-24-1P 222633-28-5P
222633-30-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic triazolobenzazepine derivs. as prodrugs for antiallergic agents)

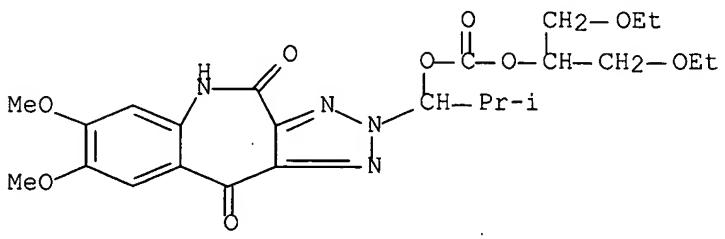
RN 222633-22-9 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



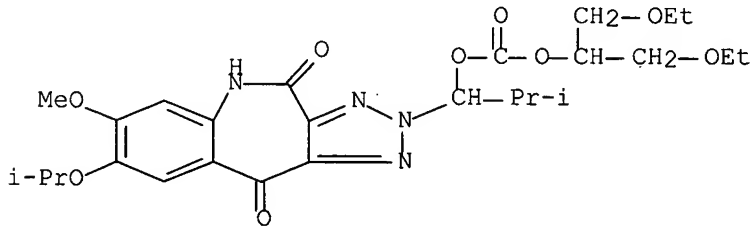
RN 222633-24-1 CAPLUS

CN Carbonic acid, 1-(5,10-dihydro-7,8-dimethoxy-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl)-2-methylpropyl 2-ethoxy-1-(ethoxymethyl)ethyl ester (9CI) (CA INDEX NAME)



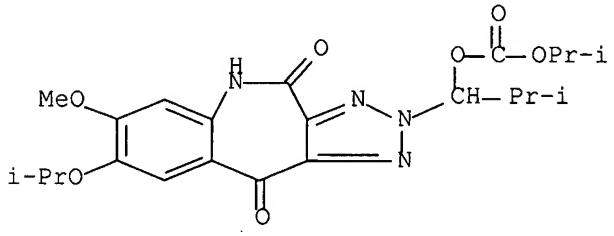
RN 222633-28-5 CAPLUS

CN Carbonic acid, 1-[5,10-dihydro-7-methoxy-8-(1-methylethoxy)-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl]-2-methylpropyl 2-ethoxy-1-(ethoxymethyl)ethyl ester (9CI) (CA INDEX NAME)



RN 222633-30-9 CAPLUS

CN Carbonic acid, 1-[5,10-dihydro-7-methoxy-8-(1-methylethoxy)-4,10-dioxo-1,2,3-triazolo[4,5-c][1]benzazepin-2(4H)-yl]-2-methylpropyl 1-methylethyl ester (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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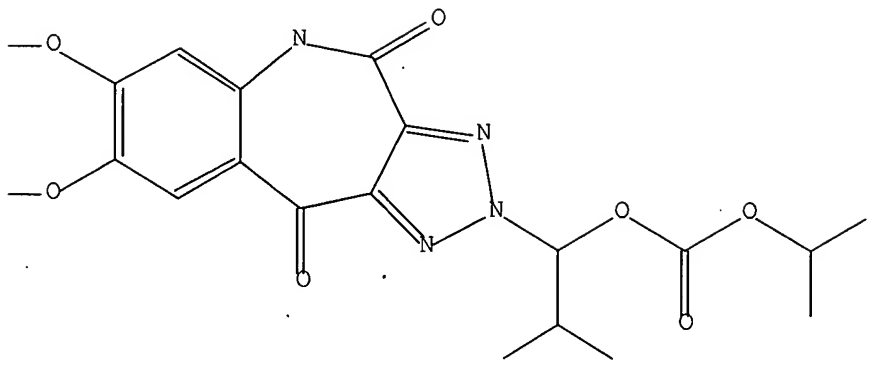
L2 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.
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L2 QUE L1
L3 0 S L2
L4 4 S L2 FUL

FILE 'CAPLUS' ENTERED AT 00:31:00 ON 19 DEC 2006
L5 5 S L4

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.01	193.16
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.75	-3.75

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